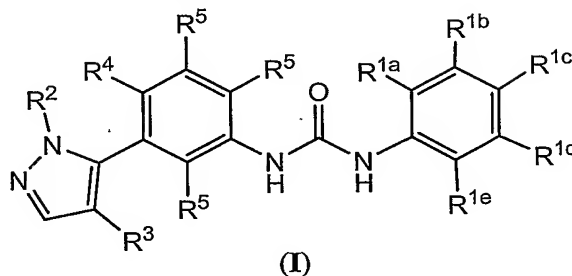


What is claimed is:

1. A process for preparing a compound of Formula (I):



wherein:

R^{1a} , R^{1b} , R^{1c} , R^{1d} , and R^{1e} are each, independently, H, halo, cyano, nitro, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, OR^7 , SR^7 , SOR^8 , SO_2R^8 , COR^8 , $COOR^7$, $OC(O)R^8$, NR^9R^{10} , carbocyclyl optionally substituted by one or more R^6 or heterocyclyl optionally substituted by one or more R^6 ; or R^{1a} and R^{1b} , R^{1b} and R^{1c} , R^{1c} and R^{1d} , or R^{1d} and R^{1e} together with the carbon atoms to which they are attached form a fused C_{5-7} cycloalkyl group or fused C_{5-7} heterocycloalkyl group; wherein each of said C_{1-6} alkyl, C_{2-6} alkenyl, and C_{2-6} alkynyl, is optionally substituted with one or more C_{1-6} acyl, C_{1-6} acyloxy, C_{1-6} alkoxy, C_{1-6} thioalkoxy, carboxamide, C_{1-6} alkylcarboxamide, C_{2-8} dialkylcarboxamide, C_{1-6} alkylsulfonamide, C_{1-6} alkylsulfinyl, C_{1-6} alkylsulfonyl, C_{1-6} alkylureido, amino, C_{1-6} alkylamino, C_{2-8} dialkylamino, C_{1-6} alkoxycarbonyl, carboxy, cyano, C_{3-7} cycloalkyl, halogen, C_{1-6} haloalkoxy, C_{1-6} halothioalkoxy, C_{1-6} haloalkyl, C_{1-6} haloalkylsulfinyl, C_{1-6} haloalkylsulfonyl, hydroxyl, mercapto or nitro;

R^2 is C_{1-4} alkyl;

R^3 is F, Cl, Br or I;

R^4 is halo, cyano, nitro, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{1-6} alkoxy, SR^{11} , SOR^{12} , SO_2R^{12} , COR^{12} , $COOR^{11}$, $OC(O)R^{12}$, $NR^{13}R^{14}$, or C_{3-7} cycloalkyl, wherein said C_{1-6} alkoxy group is optionally substituted with one or more C_{1-5} acyl, C_{1-5} acyloxy, C_{2-6} alkenyl, C_{1-4} alkoxy, C_{1-8} alkyl, C_{1-6} alkylamino, C_{2-8} dialkylamino, C_{1-4} alkylcarboxamide, C_{2-6} alkynyl, C_{1-4} alkylsulfonamide, C_{1-4} alkylsulfinyl, C_{1-4} alkylsulfonyl, C_{1-4} thioalkoxy, C_{1-4} alkylureido, amino, (C_{1-6} alkoxy)carbonyl, carboxamide, carboxy, cyano, C_{3-6} cycloalkyl, C_{2-6} dialkylcarboxamide, halogen, C_{1-4} haloalkoxy, C_{1-4} haloalkyl, C_{1-4} haloalkylsulfinyl, C_{1-4} haloalkylsulfonyl, C_{1-4} halothioalkoxy, hydroxyl, nitro or phenyl optionally substituted with 1 to 5 halogen atoms;

R^5 , at each independent occurrence, is H, halo, cyano, nitro, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{1-6} alkoxy, SR^{11} , SOR^{12} , SO_2R^{12} , COR^{12} , $COOR^{11}$, $OC(O)R^{12}$, $NR^{13}R^{14}$, or C_{3-7} cycloalkyl, wherein said C_{1-6} alkoxy group is optionally substituted with one or more C_{1-5} acyl, C_{1-5} acyloxy, C_{2-6} alkenyl, C_{1-4} alkoxy, C_{1-8} alkyl, C_{1-6} alkylamino, C_{2-8} dialkylamino, C_{1-4} alkylcarboxamide, C_{2-6} alkynyl, C_{1-4}

alkylsulfonamide, C₁₋₄ alkylsulfinyl, C₁₋₄ alkylsulfonyl, C₁₋₄ thioalkoxy, C₁₋₄ alkylureido, amino, (C₁₋₆ alkoxy)carbonyl, carboxamide, carboxy, cyano, C₃₋₆ cycloalkyl, C₂₋₆ dialkylcarboxamide, halogen, C₁₋₄ haloalkoxy, C₁₋₄ haloalkyl, C₁₋₄ haloalkylsulfinyl, C₁₋₄ haloalkylsulfonyl, C₁₋₄ halothioalkoxy, hydroxyl, nitro or phenyl optionally substituted with 1 to 5 halogen atoms;

R⁶ is halo, cyano, nitro, C₁₋₄ alkyl, C₁₋₄ haloalkyl, C₁₋₄ alkoxy, C₁₋₄ haloalkoxy, amino, (C₁₋₄ alkyl)amino, di(C₁₋₄ alkyl)amino, hydroxy, carboxy, (C₁₋₄ alkoxy)carbonyl, C₁₋₄ acyl, C₁₋₄ acyloxy, aminocarbonyl, (C₁₋₄ alkyl)aminocarbonyl, or di(C₁₋₄ alkyl)aminocarbonyl;

R⁷ and R¹¹ are each, independently, H, C₁₋₈ alkyl, C₁₋₈ haloalkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, aryl, heteroaryl, C₃₋₇ cycloalkyl, 5-7 membered heterocycloalkyl, arylalkyl, heteroarylalkyl, (C₃₋₇ cycloalkyl)alkyl or (5-7 membered heterocycloalkyl)alkyl;

R⁸ and R¹² are each, independently, H, C₁₋₈ alkyl, C₁₋₈ haloalkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, aryl, heteroaryl, C₃₋₇ cycloalkyl, 5-7 membered heterocycloalkyl, arylalkyl, heteroarylalkyl, (C₃₋₇ cycloalkyl)alkyl, (5-7 membered heterocycloalkyl)alkyl, amino, (C₁₋₄ alkyl)amino, or di(C₁₋₄ alkyl)amino;

R⁹ and R¹⁰ are each, independently, H, C₁₋₈ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, aryl, heteroaryl, C₃₋₇ cycloalkyl, 5-7 membered heterocycloalkyl, arylalkyl, heteroarylalkyl, (C₃₋₇ cycloalkyl)alkyl, (5-7 membered heterocycloalkyl)alkyl, (C₁₋₈ alkyl)carbonyl, (C₁₋₈ haloalkyl)carbonyl, (C₁₋₈ alkoxy)carbonyl, (C₁₋₈ haloalkoxy)carbonyl, (C₁₋₄ alkyl)sulfonyl, (C₁₋₄ haloalkyl)sulfonyl or arylsulfonyl;

or R⁹ and R¹⁰, together with the N atom to which they are attached form a 5-7 membered heterocycloalkyl group; and

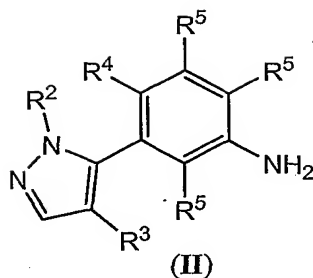
R¹³ and R¹⁴ are each, independently, H, C₁₋₈ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, aryl, heteroaryl, C₃₋₇ cycloalkyl, 5-7 membered heterocycloalkyl, arylalkyl, heteroarylalkyl, (C₃₋₇ cycloalkyl)alkyl, (5-7 membered heterocycloalkyl)alkyl, (C₁₋₈ alkyl)carbonyl, (C₁₋₈ haloalkyl)carbonyl, (C₁₋₈ alkoxy)carbonyl, (C₁₋₈ haloalkoxy)carbonyl, (C₁₋₄ alkyl)sulfonyl, (C₁₋₄ haloalkyl)sulfonyl or arylsulfonyl;

or R¹³ and R¹⁴, together with the N atom to which they are attached form a 5-7 membered heterocycloalkyl group;

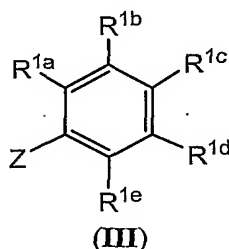
the process comprising:

a) reacting a compound of Formula (II):

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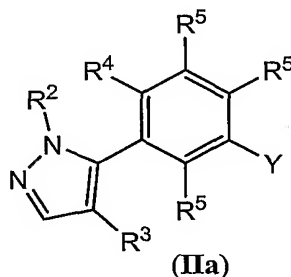


with a compound of Formula (III):

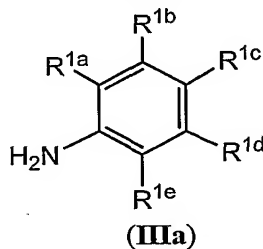


wherein Z is an isocyanate group ($-NCO$) or isocyanate equivalent, for a time and under conditions suitable for forming said compound of Formula (I); or

b) reacting a compound of Formula (II) with an isocyanate-generating reagent for a time and under conditions suitable for forming a compound of Formula (IIa):



wherein Y is an isocyanate group or isocyanate equivalent; and reacting said compound of Formula (IIa) with a compound of Formula (IIIa):



for a time and under conditions suitable for forming said compound of Formula (I).

2. The process of claim 1 wherein R^{1a} , R^{1b} , R^{1c} , R^{1d} , and R^{1e} are each, independently, H, halo, cyano, nitro, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, OR^7 , SR^7 , SOR^8 , SO_2R^8 , COR^8 , $COOR^7$, $OC(O)R^8$, NR^9R^{10} , carbocyclyl optionally substituted by one or more R^6 or heterocyclyl optionally substituted by one or more R^6 .

3. The process of claim 1 wherein R^{1a} , R^{1b} , R^{1c} , R^{1d} , and R^{1e} are each, independently, H, halo, cyano, nitro, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, OR^7 or carbocyclyl optionally substituted by one or more R^6 .
- 5 4. The process of claim 1 wherein R^{1a} , R^{1b} , R^{1c} , R^{1d} , and R^{1e} are each, independently, H, halo, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkyl, or C_{1-6} haloalkyl.
5. The process of claim 1 wherein R^{1a} , R^{1b} , R^{1c} , R^{1d} , and R^{1e} are each, independently, H, F, Cl, Br, or I.
- 10 6. The process of claim 1 wherein R^{1a} is H or halo, R^{1b} is H, R^{1c} is halo, R^{1d} is H, and R^{1e} is H.
7. The process of claim 1 wherein R^{1a} is halo, R^{1b} is H, R^{1c} is halo, R^{1d} is H, and R^{1e} is H.
- 15 8. The process of claim 1 wherein:
 R^{1a} is F, R^{1b} is H, R^{1c} is F, R^{1d} is H, and R^{1e} is H;
 R^{1a} is H, R^{1b} is H, R^{1c} is Cl, R^{1d} is H, and R^{1e} is H;
 R^{1a} is H, R^{1b} is H, R^{1c} is F, R^{1d} is H, and R^{1e} is H; or
20 R^{1a} is H, R^{1b} is H, R^{1c} is Cl, R^{1d} is H, and R^{1e} is H.
9. The process of claim 1 wherein R^2 is methyl or ethyl.
10. The process of claim 1 wherein R^2 is methyl.
- 25 11. The process of claim 1 wherein R^3 is Cl or Br.
12. The process of claim 1 wherein R^3 is Br.
- 30 13. The process of claim 1 wherein R^4 is halo, cyano, nitro, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{1-6} alkoxy, wherein said C_{1-6} alkoxy group is optionally substituted with one or more C_{1-5} acyl, C_{1-5} acyloxy, C_{2-6} alkenyl, C_{1-4} alkoxy, C_{1-8} alkyl, C_{1-6} alkylamino, C_{2-8} dialkylamino, C_{1-4} alkylcarboxamide, C_{2-6} alkynyl, C_{1-4} alkylsulfonamide, C_{1-4} alkylsulfinyl, C_{1-4} alkylsulfonyl, C_{1-4} thioalkoxy, C_{1-4} alkylureido, amino, (C_{1-6} alkoxy)carbonyl, carboxamide, carboxy, cyano, C_{3-6} cycloalkyl, C_{2-6} dialkylcarboxamide, halogen, C_{1-4} haloalkoxy, C_{1-4} haloalkyl, C_{1-4} haloalkylsulfinyl, C_{1-4} haloalkylsulfonyl, C_{1-4} halothioalkoxy, hydroxyl, nitro or phenyl optionally substituted with 1 to 5 halogen atoms.
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14. The process of claim 1 wherein R^4 is C_{1-6} alkoxy optionally substituted with one or more C_{1-5} acyl, C_{1-5} acyloxy, C_{2-6} alkenyl, C_{1-4} alkoxy, C_{1-8} alkyl, C_{1-6} alkylamino, C_{2-8} dialkylamino, C_{1-4} alkylcarboxamide, C_{2-6} alkynyl, C_{1-4} alkylsulfonamide, C_{1-4} alkylsulfinyl, C_{1-4} alkylsulfonyl, C_{1-4} thioalkoxy, C_{1-4} alkylureido, amino, (C_{1-6} alkoxy)carbonyl, carboxamide, carboxy, cyano, C_{3-6} cycloalkyl, C_{2-6} dialkylcarboxamide, halogen, C_{1-4} haloalkoxy, C_{1-4} haloalkyl, C_{1-4} haloalkylsulfinyl, C_{1-4} haloalkylsulfonyl, C_{1-4} haloalkoxy, hydroxyl, nitro or phenyl optionally substituted with 1 to 5 halogen atoms.
15. The process of claim 1 wherein R^4 is C_{1-6} alkoxy.
16. The process of claim 1 wherein R^4 is C_{1-3} alkoxy.
17. The process of claim 1 wherein R^4 is methoxy or ethoxy.
18. The process of claim 1 wherein R^4 is methoxy.
19. The process of claim 1 wherein R^5 , at each independent occurrence, is H, halo, cyano, nitro, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, or C_{1-6} alkoxy.
20. The process of claim 1 wherein R^5 , at each independent occurrence, is H or halo.
21. The process of claim 1 wherein R^5 , at each occurrence, is H.
22. The process of claim 1 wherein:
 R^{1a} , R^{1b} , R^{1c} , R^{1d} , and R^{1e} are each, independently, H, halo, cyano, nitro, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, OR^7 , SR^7 , SOR^8 , SO_2R^8 , COR^8 , $COOR^7$, $OC(O)R^8$, NR^9R^{10} , carbocyclyl optionally substituted by one or more R^6 or heterocyclyl optionally substituted by one or more R^6 ;
- R^3 is F, Cl, Br or I;
- R^4 is halo, cyano, nitro, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{1-6} alkoxy, wherein said C_{1-6} alkoxy group is optionally substituted with one or more C_{1-5} acyl, C_{1-5} acyloxy, C_{2-6} alkenyl, C_{1-4} alkoxy, C_{1-8} alkyl, C_{1-6} alkylamino, C_{2-8} dialkylamino, C_{1-4} alkylcarboxamide, C_{2-6} alkynyl, C_{1-4} alkylsulfonamide, C_{1-4} alkylsulfinyl, C_{1-4} alkylsulfonyl, C_{1-4} thioalkoxy, C_{1-4} alkylureido, amino, (C_{1-6} alkoxy)carbonyl, carboxamide, carboxy, cyano, C_{3-6} cycloalkyl, C_{2-6} dialkylcarboxamide, halogen, C_{1-4} haloalkoxy, C_{1-4} haloalkyl, C_{1-4} haloalkylsulfinyl, C_{1-4} haloalkylsulfonyl, C_{1-4}

halothioalkoxy, hydroxyl, nitro or phenyl optionally substituted with 1 to 5 halogen atoms;
and

R^5 , at each independent occurrence, is H, halo, cyano, nitro, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, or C_{1-6} alkoxy.

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23. The process of claim 1 wherein:

R^{1a} , R^{1b} , R^{1c} , R^{1d} , and R^{1e} are each, independently, H, halo, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkyl, or C_{1-6} haloalkyl;

R^3 is F, Cl, Br or I;

10

R^4 is C_{1-6} alkoxy group optionally substituted with one or more C_{1-5} acyl, C_{1-5} acyloxy, C_{2-6} alkenyl, C_{1-4} alkoxy, C_{1-8} alkyl, C_{1-6} alkylamino, C_{2-8} dialkylamino, C_{1-4} alkylcarboxamide, C_{2-6} alkynyl, C_{1-4} alkylsulfonamide, C_{1-4} alkylsulfinyl, C_{1-4} alkylsulfonyl, C_{1-4} thioalkoxy, C_{1-4} alkylureido, amino, (C_{1-6} alkoxy)carbonyl, carboxamide, carboxy, cyano, C_{3-6} cycloalkyl, C_{2-6} dialkylcarboxamide, halogen, C_{1-4} haloalkoxy, C_{1-4} haloalkyl, C_{1-4} haloalkylsulfinyl, C_{1-4} haloalkylsulfonyl, C_{1-4} halothioalkoxy, hydroxyl, nitro or phenyl optionally substituted with 1 to 5 halogen atoms;
and

15

R^5 , at each occurrence, is H.

20

24. The process of claim 1 wherein:

R^{1a} , R^{1b} , R^{1c} , R^{1d} , and R^{1e} are each, independently, H, F, Cl, Br or I;

R^2 is methyl or ethyl;

R^3 is F, Cl, Br or I;

R^4 is C_{1-6} alkoxy; and

25

R^5 , at each occurrence, is H.

25. The process of claim 1 wherein:

R^{1a} , R^{1b} , R^{1c} , R^{1d} , and R^{1e} are each, independently, H, F, or Cl;

R^2 is methyl;

30

R^3 is Cl or Br;

R^4 is methoxy; and

R^5 , at each occurrence, is H.

26. The process of claim 1 wherein:

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R^{1a} is F;

R^{1b} is H;

R^{1c} is F;

R^{1d} is H;

R^{1e} is H;

R² is methyl;

R³ is Br;

5 R⁴ is methoxy; and

R⁵, at each occurrence, is H.

27. The process of claim 1 wherein:

R^{1a} is H;

10 R^{1b} is H;

R^{1c} is Cl;

R^{1d} is H;

R^{1e} is H;

R² is methyl;

15 R³ is Br;

R⁴ is methoxy; and

R⁵, at each occurrence, is H.

28. The process of claim 1 wherein:

20 R^{1a} is H;

R^{1b} is H;

R^{1c} is F;

R^{1d} is H;

R^{1e} is H;

25 R² is methyl;

R³ is Br;

R⁴ is methoxy; and

R⁵, at each occurrence, is H.

30 29. The process of claim 1 wherein:

R^{1a} is H;

R^{1b} is H;

R^{1c} is Cl;

R^{1d} is H;

35 R^{1e} is H;

R² is methyl;

R³ is Cl;

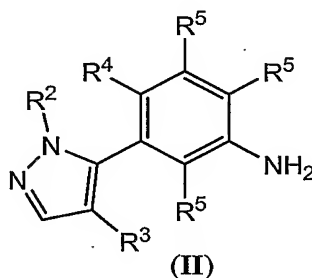
R^4 is methoxy; and

R^5 , at each occurrence, is H.

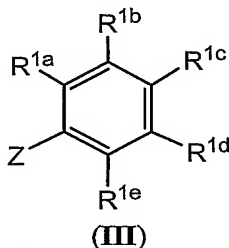
30. The process of claim 1 wherein Z is $-NCO$.

31. The process of claim 1 wherein Y is $-NCO$.

32. The process of claim 1 wherein the process comprises reacting a compound of Formula (II):



with a compound of Formula (III):



wherein Z is an isocyanate group, for a time and under conditions suitable for forming said compound of Formula (I).

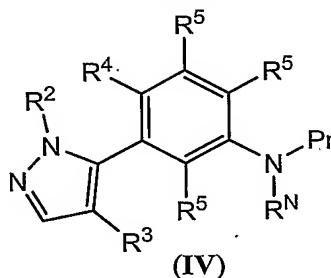
33. The process of claim 32 wherein said reacting is carried out in an organic solvent.

34. The process of claim 33 wherein said organic solvent comprises an aromatic solvent.

35. The process of claim 33 wherein said organic solvent comprises toluene.

36. The process of claim 33 wherein, prior to said reacting, said compound of Formula (II) is dissolved in said organic solvent forming a solution, wherein said organic solvent comprises toluene, and said solution is refluxed for a time and under conditions to at least partially remove residual water optionally present in said solution.

37. The process of claim 36 wherein the amount of water present in the solution after said refluxing is less than about 0.01 % by volume.
38. The process of claim 36 wherein the amount of water present in the solution after said refluxing is less than about 0.005 % by volume.
39. The process of claim 36 wherein the amount of water present in the solution after said refluxing is less than about 0.001 % by volume.
40. The process of claim 33 wherein said reacting is carried out at a reduced temperature.
41. The process of claim 40 wherein said reduced temperature is about 10 to about 20 °C.
42. The process of claim 33 wherein said reacting is carried out under an inert atmosphere.
43. The process of claim 33 wherein said compound of Formula (III) is added to a solution containing said compound of Formula (II).
44. The process of claim 43 wherein said addition is carried out portionwise.
45. The process of claim 33 wherein said compound of Formula (III) is added in molar excess relative to the amount of Formula (II).
46. The process of claim 1 wherein said compound of Formula (II) is prepared by the process comprising reacting a compound of Formula (IV):



wherein:

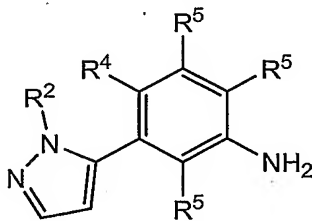
Pr is an amino protecting group; and

R^N is H;

or Pr and R^N together with the N atom to which they are attached form a cyclic amino protecting group;

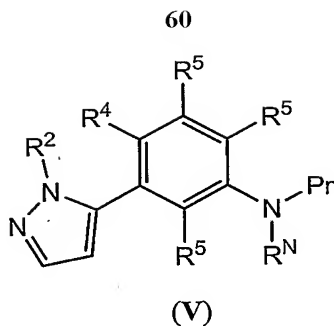
with a deprotecting agent for a time and under conditions suitable for forming said compound of Formula (II).

47. The process of claim 46 wherein Pr is an acyl group.
48. The process of claim 46 wherein Pr is $-\text{C}(\text{O})-(\text{C}_{1-4} \text{ alkyl})$.
49. The process of claim 46 wherein Pr is $-\text{C}(\text{O})\text{Me}$.
50. The process of claim 46 wherein said deprotecting agent is a base.
51. The process of claim 46 wherein said deprotecting agent comprises hydroxide.
52. The process of claim 46 wherein said deprotecting agent comprises sodium hydroxide.
53. The process of claim 46 wherein said reacting with a deprotecting agent is carried out in a organic solvent.
54. The process of claim 53 wherein said organic solvent comprises an alcohol.
55. The process of claim 53 wherein said organic solvent comprises methanol.
56. The process of claim 46 wherein said reacting with a deprotecting agent is carried out at reflux temperature.
57. The process of claim 46 wherein said reacting with a deprotecting agent results in formation of less than about 5 mole % of a compound of Formula (IIb):



(IIb)

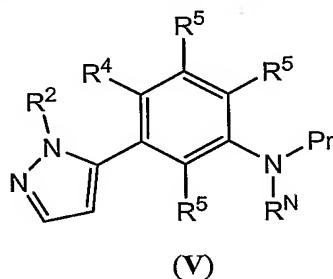
relative to the amount of compound of Formula (II), and wherein said compound of Formula (IV) at the start of said reacting comprises a substantially undetectable amount of a compound of Formula (V):



58. The process of claim 57 wherein said reacting with a deprotecting agent results in formation of less than about 3 mole % of a compound of Formula (IIa).

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59. The process of claim 46 wherein said compound of Formula (IV) is prepared by the process comprising reacting a compound of Formula (V):



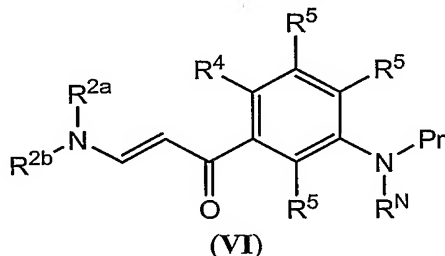
with a halogenating reagent for a time and under conditions suitable for forming said compound of Formula (IV).

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60. The process of claim 59 wherein said halogenating reagent is a brominating or chlorinating reagent.
- 15 61. The process of claim 59 wherein said halogenating reagent is a brominating reagent.
62. The process of claim 59 wherein said halogenating reagent comprises N-bromosuccinimide.
- 20 63. The process of claim 59 wherein said reacting with a halogenating reagent is carried out in an organic solvent.
64. The process of claim 59 wherein said organic solvent comprises an alcohol.
- 25 65. The process of claim 59 wherein said organic solvent comprises methanol.

66. The process of claim 59 wherein said reacting with a halogenating reagent is carried out at or below about room temperature.

67. The process of claim 59 wherein said compound of Formula (V) is prepared by the process comprising reacting a compound of Formula (VI):



wherein R^{2a} and R^{2b} are each, independently, C_{1-4} alkyl, with an alkylhydrazine having the formula NH_2NH-R^2 for a time and under conditions suitable for forming said compound of Formula (V).

68. The process of claim 67 wherein R^2 is methyl.

69. The process of claim 67 wherein said reacting with an alkylhydrazine is carried out in the presence of an organic solvent.

70. The process of claim 69 wherein said organic solvent comprises an alcohol.

71. The process of claim 69 wherein said organic solvent comprises methanol.

72. The process of claim 67 wherein said reacting with an alkylhydrazine is carried out in the presence of an acid.

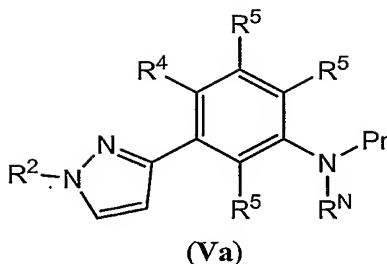
73. The process of claim 72 wherein said acid comprises an inorganic acid.

74. The process of claim 72 wherein said acid comprises HCl.

75. The process of claim 67 wherein said compound of Formula (VI) is added to a solution containing said alkylhydrazine and HCl.

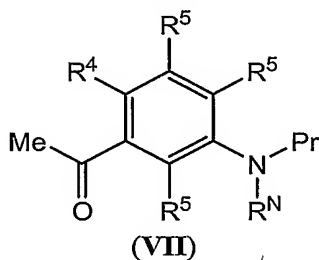
76. The process of claim 67 wherein said reacting with an alkylhydrazine further produces a compound of Formula (Va):

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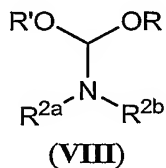


wherein said compound of Formula (Va) is produced in a lesser amount than said compound of Formula (V).

- 5 77. The process of claim 76 wherein the molar ratio of compound of Formula (V) to compound of Formula (Va) is greater than about 2.
78. The process of claim 76 wherein the molar ratio of compound of Formula (V) to compound of Formula (Va) is greater than about 5.
- 10 79. The process of claim 67 wherein said reacting with an alkylhydrazine is carried out at a temperature of about -10 to about 30 °C.
80. The process of claim 67 wherein said compound of Formula (VI) is prepared by the processes comprising reacting a compound of Formula (VII):
- 15



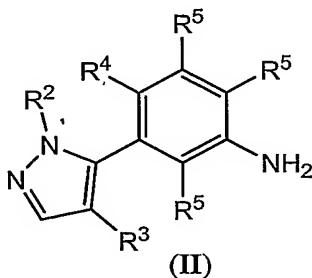
with an acetal of Formula (VIII):



wherein R and R' are each, independently, C₁₋₆ alkyl, arylalkyl or alkylaryl, or R and R' together with the O atoms to which they are attached and the intervening CH group form a 5- or 6-membered heterocycloalkyl group, for a time and under conditions suitable for forming said compound of Formula (VI).

81. The process of claim 80 wherein said R and R' are both C₁₋₄ alkyl.

82. The process of claim 80 wherein said R and R' are both methyl.
83. The process of claim 80 wherein said R^{2a} and R^{2b} are both methyl.
- 5 84. The process of claim 80 wherein said reacting with an acetal of Formula (VIII) is carried out in a solvent.
85. The process of claim 84 wherein said solvent comprises an alcohol.
- 10 86. The process of claim 84 wherein said solvent comprises ethanol.
87. The process of claim 80 wherein said reacting with an acetal of Formula (VIII) is carried out at about reflux temperature.
- 15 88. The process of claim 80 wherein said acetal is added to a mixture of said compound of Formula (VII) and solvent.
89. The process of claim 80 wherein said acetal is provided in molar excess relative to the amount of compound of Formula (VII).
- 20 90. The process of claim 89 wherein the molar ratio of said acetal to said compound of Formula (VII) is about 1.5 to 3.
91. A process for preparing a compound of Formula (II):



wherein:

R² is C₁₋₄ alkyl;

R³ is F, Cl, Br or I;

R⁴ is halo, cyano, nitro, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₁₋₆ alkoxy, SR¹¹, SOR¹², SO₂R¹², COR¹², COOR¹¹, OC(O)R¹², NR¹³R¹⁴, or C₃₋₇ cycloalkyl, wherein said C₁₋₆ alkoxy group is optionally substituted with one or more C₁₋₅ acyl, C₁₋₅ acyloxy, C₂₋₆ alkenyl, C₁₋₄ alkoxy, C₁₋₈ alkyl, C₁₋₆ alkylamino, C₂₋₈ dialkylamino, C₁₋₄

alkylcarboxamide, C₂₋₆ alkynyl, C₁₋₄ alkylsulfonamide, C₁₋₄ alkylsulfinyl, C₁₋₄ alkylsulfonyl, C₁₋₄ thioalkoxy, C₁₋₄ alkylureido, amino, (C₁₋₆ alkoxy)carbonyl, carboxamide, carboxy, cyano, C₃₋₆ cycloalkyl, C₂₋₆ dialkylcarboxamide, halogen, C₁₋₄ haloalkoxy, C₁₋₄ haloalkyl, C₁₋₄ haloalkylsulfinyl, C₁₋₄ haloalkylsulfonyl, C₁₋₄ halothioalkoxy, hydroxyl, nitro or phenyl optionally substituted with 1 to 5 halogen atoms;

R⁵, at each independent occurrence, is H, halo, cyano, nitro, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₁₋₆ alkoxy, SR¹¹, SOR¹², SO₂R¹², COR¹², COOR¹¹, OC(O)R¹², NR¹³R¹⁴, or C₃₋₇ cycloalkyl, wherein said C₁₋₆ alkoxy group is optionally substituted with one or more C₁₋₅ acyl, C₁₋₅ acyloxy, C₂₋₆ alkenyl, C₁₋₄ alkoxy, C₁₋₈ alkyl, C₁₋₆ alkylamino, C₂₋₈ dialkylamino, C₁₋₄ alkylcarboxamide, C₂₋₆ alkynyl, C₁₋₄ alkylsulfonamide, C₁₋₄ alkylsulfinyl, C₁₋₄ alkylsulfonyl, C₁₋₄ thioalkoxy, C₁₋₄ alkylureido, amino, (C₁₋₆ alkoxy)carbonyl, carboxamide, carboxy, cyano, C₃₋₆ cycloalkyl, C₂₋₆ dialkylcarboxamide, halogen, C₁₋₄ haloalkoxy, C₁₋₄ haloalkyl, C₁₋₄ haloalkylsulfinyl, C₁₋₄ haloalkylsulfonyl, C₁₋₄ halothioalkoxy, hydroxyl, nitro or phenyl optionally substituted with 1 to 5 halogen atoms;

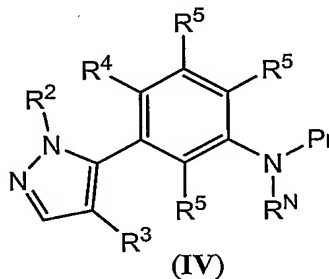
R¹¹ is, independently, H, C₁₋₈ alkyl, C₁₋₈ haloalkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, aryl, heteroaryl, C₃₋₇ cycloalkyl, 5-7 membered heterocycloalkyl, arylalkyl, heteroarylalkyl, (C₃₋₇ cycloalkyl)alkyl or (5-7 membered heterocycloalkyl)alkyl;

R¹² is, independently, H, C₁₋₈ alkyl, C₁₋₈ haloalkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, aryl, heteroaryl, C₃₋₇ cycloalkyl, 5-7 membered heterocycloalkyl, arylalkyl, heteroarylalkyl, (C₃₋₇ cycloalkyl)alkyl, (5-7 membered heterocycloalkyl)alkyl, amino, (C₁₋₄ alkyl)amino, or di(C₁₋₄ alkyl)amino; and

R¹³ and R¹⁴ are each, independently, H, C₁₋₈ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, aryl, heteroaryl, C₃₋₇ cycloalkyl, 5-7 membered heterocycloalkyl, arylalkyl, heteroarylalkyl, (C₃₋₇ cycloalkyl)alkyl, (5-7 membered heterocycloalkyl)alkyl, (C₁₋₈ alkyl)carbonyl, (C₁₋₈ haloalkyl)carbonyl, (C₁₋₈ alkoxy)carbonyl, (C₁₋₈ haloalkoxy)carbonyl, (C₁₋₄ alkyl)sulfonyl, (C₁₋₄ haloalkyl)sulfonyl or arylsulfonyl;

or R¹³ and R¹⁴, together with the N atom to which they are attached form a 5-7 membered heterocycloalkyl group;

comprising reacting a compound of Formula (IV):



wherein:

Pr is an amino protecting group; and

R^N is H;

or Pr and R^N together with the N atom to which they are attached form a cyclic amino protecting group;

with a base for a time and under conditions suitable for forming said compound of Formula (II).

92. The process of claim 91 wherein Pr is an acyl group.

93. The process of claim 91 wherein Pr is $-C(O)-(C_{1-4} \text{ alkyl})$.

94. The process of claim 91 wherein Pr is $-C(O)Me$.

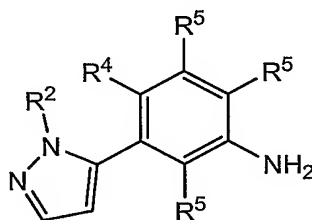
95. The process of claim 91 wherein said base is sodium hydroxide.

96. The process of claim 91 wherein said reacting is carried out in an organic solvent.

97. The process of claim 97 wherein said organic solvent comprises an alcohol.

98. The process of claim 97 wherein said organic solvent comprises methanol.

99. The process of claim 91 wherein the product of said reacting comprises less than about 5 mole % of a compound of Formula (IIb):

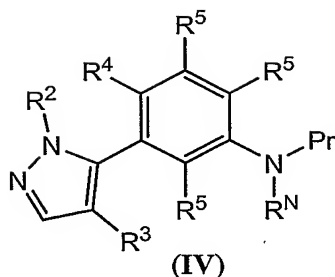


(IIb)

relative to the amount of compound of Formula (II).

100. The process of claim 99 wherein the product of said reacting comprises less than about 3 mole % of a compound of Formula (IIb).

101. A process for the preparation of a compound of Formula (IV):



wherein:

R^2 is C_{1-4} alkyl;

R^3 is F, Cl, Br or I;

5 R^4 is halo, cyano, nitro, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{1-6} alkoxy, SR^{11} , SOR^{12} , SO_2R^{12} , COR^{12} , $COOR^{11}$, $OC(O)R^{12}$, $NR^{13}R^{14}$, or C_{3-7} cycloalkyl, wherein said C_{1-6} alkoxy group is optionally substituted with one or more C_{1-5} acyl, C_{1-5} acyloxy, C_{2-6} alkenyl, C_{1-4} alkoxy, C_{1-8} alkyl, C_{1-6} alkylamino, C_{2-8} dialkylamino, C_{1-4} alkylcarboxamide, C_{2-6} alkynyl, C_{1-4} alkylsulfonamide, C_{1-4} alkylsulfinyl, C_{1-4} alkylsulfonyl, C_{1-4} thioalkoxy, C_{1-4} alkylureido, amino, $(C_{1-6}$ alkoxy)carbonyl, carboxamide, carboxy, cyano, C_{3-6} cycloalkyl, C_{2-6} dialkylcarboxamide, halogen, C_{1-4} haloalkoxy, C_{1-4} haloalkyl, C_{1-4} haloalkylsulfinyl, C_{1-4} haloalkylsulfonyl, C_{1-4} halothioalkoxy, hydroxyl, nitro or phenyl optionally substituted with 1 to 5 halogen atoms;

15 R^5 , at each independent occurrence, is H, halo, cyano, nitro, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{1-6} alkoxy, SR^{11} , SOR^{12} , SO_2R^{12} , COR^{12} , $COOR^{11}$, $OC(O)R^{12}$, $NR^{13}R^{14}$, or C_{3-7} cycloalkyl, wherein said C_{1-6} alkoxy group is optionally substituted with one or more C_{1-5} acyl, C_{1-5} acyloxy, C_{2-6} alkenyl, C_{1-4} alkoxy, C_{1-8} alkyl, C_{1-6} alkylamino, C_{2-8} dialkylamino, C_{1-4} alkylcarboxamide, C_{2-6} alkynyl, C_{1-4} alkylsulfonamide, C_{1-4} alkylsulfinyl, C_{1-4} alkylsulfonyl, C_{1-4} thioalkoxy, C_{1-4} alkylureido, amino, $(C_{1-6}$ alkoxy)carbonyl, carboxamide, carboxy, cyano, C_{3-6} cycloalkyl, C_{2-6} dialkylcarboxamide, halogen, C_{1-4} haloalkoxy, C_{1-4} haloalkyl, C_{1-4} haloalkylsulfinyl, C_{1-4} haloalkylsulfonyl, C_{1-4} halothioalkoxy, hydroxyl, nitro or phenyl optionally substituted with 1 to 5 halogen atoms;

20 R^{11} is, independently, H, C_{1-8} alkyl, C_{1-8} haloalkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, aryl, heteroaryl, C_{3-7} cycloalkyl, 5-7 membered heterocycloalkyl, arylalkyl, heteroarylalkyl, $(C_{3-7}$ cycloalkyl)alkyl or (5-7 membered heterocycloalkyl)alkyl;

25 R^{12} is, independently, H, C_{1-8} alkyl, C_{1-8} haloalkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, aryl, heteroaryl, C_{3-7} cycloalkyl, 5-7 membered heterocycloalkyl, arylalkyl, heteroarylalkyl, $(C_{3-7}$ cycloalkyl)alkyl, (5-7 membered heterocycloalkyl)alkyl, amino, $(C_{1-4}$ alkyl)amino, or di(C_{1-4} alkyl)amino;

30 R^{13} and R^{14} are each, independently, H, C_{1-8} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, aryl, heteroaryl, C_{3-7} cycloalkyl, 5-7 membered heterocycloalkyl, arylalkyl, heteroarylalkyl, $(C_{3-7}$ cycloalkyl)alkyl or (5-7 membered heterocycloalkyl)alkyl;

₇ cycloalkyl)alkyl, (5-7 membered heterocycloalkyl)alkyl, (C₁₋₈ alkyl)carbonyl, (C₁₋₈ haloalkyl)carbonyl, (C₁₋₈ alkoxy)carbonyl, (C₁₋₈ haloalkoxy)carbonyl, (C₁₋₄ alkyl)sulfonyl, (C₁₋₄ haloalkyl)sulfonyl or arylsulfonyl;

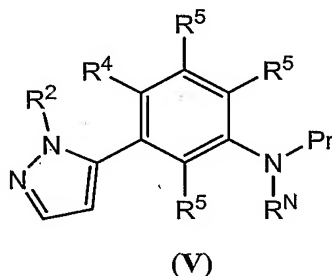
or R¹³ and R¹⁴, together with the N atom to which they are attached form a 5-7 membered heterocycloalkyl group;

Pr is an amino protecting group; and

R^N is H;

or Pr and R^N together with the N atom to which they are attached form a cyclic amino protecting group;

comprising reacting a compound of Formula (V):



with a halogenating reagent for a time and under conditions suitable for forming said compound of Formula (IV).

102. The process of claim 101 wherein said halogenating reagent is a brominating or chlorinating reagent.

103. The process of claim 102 wherein said halogenating reagent is a brominating reagent.

104. The process of claim 103 wherein said halogenating reagent comprises N-bromosuccinimide.

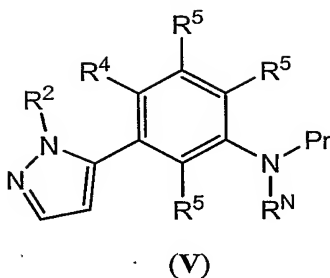
105. The process of claim 104 wherein said reacting is carried out in an organic solvent.

106. The process of claim 105 wherein said organic solvent comprises an alcohol.

107. The process of claim 106 wherein said organic solvent comprises methanol.

108. A process for preparing a compound of Formula (V):

68



wherein:

R² is C₁₋₄ alkyl;

R^3 is F, Cl, Br or I;

R⁴ is halo, cyano, nitro, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₁₋₆ alkoxy, SR¹¹, SOR¹², SO₂R¹², COR¹², COOR¹¹, OC(O)R¹², NR¹³R¹⁴, or C₃₋₇ cycloalkyl, wherein said C₁₋₆ alkoxy group is optionally substituted with one or more C₁₋₅ acyl, C₁₋₅ acyloxy, C₂₋₆ alkenyl, C₁₋₄ alkoxy, C₁₋₈ alkyl, C₁₋₆ alkylamino, C₂₋₈ dialkylamino, C₁₋₄ alkylcarboxamide, C₂₋₆ alkynyl, C₁₋₄ alkylsulfonamide, C₁₋₄ alkylsulfinyl, C₁₋₄ alkylsulfonyl, C₁₋₄ thioalkoxy, C₁₋₄ alkylureido, amino, (C₁₋₆ alkoxy)carbonyl, carboxamide, carboxy, cyano, C₃₋₆ cycloalkyl, C₂₋₆ dialkylcarboxamide, halogen, C₁₋₄ haloalkoxy, C₁₋₄ haloalkyl, C₁₋₄ haloalkylsulfinyl, C₁₋₄ haloalkylsulfonyl, C₁₋₄ halothioalkoxy, hydroxyl, nitro or phenyl optionally substituted with 1 to 5 halogen atoms;

R⁵, at each independent occurrence, is H, halo, cyano, nitro, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₁₋₆ alkoxy, SR¹¹, SOR¹², SO₂R¹², COR¹², COOR¹¹, OC(O)R¹², NR¹³R¹⁴, or C₃₋₇ cycloalkyl, wherein said C₁₋₆ alkoxy group is optionally substituted with one or more C₁₋₅ acyl, C₁₋₅ acyloxy, C₂₋₆ alkenyl, C₁₋₄ alkoxy, C₁₋₈ alkyl, C₁₋₆ alkylamino, C₂₋₈ dialkylamino, C₁₋₄ alkylcarboxamide, C₂₋₆ alkynyl, C₁₋₄ alkylsulfonamide, C₁₋₄ alkylsulfinyl, C₁₋₄ alkylsulfonyl, C₁₋₄ thioalkoxy, C₁₋₄ alkylureido, amino, (C₁₋₆ alkoxy)carbonyl, carboxamide, carboxy, cyano, C₃₋₆ cycloalkyl, C₂₋₆ dialkylcarboxamide, halogen, C₁₋₄ haloalkoxy, C₁₋₄ haloalkyl, C₁₋₄ haloalkylsulfinyl, C₁₋₄ haloalkylsulfonyl, C₁₋₄ halothioalkoxy, hydroxyl, nitro or phenyl optionally substituted with 1 to 5 halogen atoms;

R¹¹ is, independently, H, C₁₋₈ alkyl, C₁₋₈ haloalkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, aryl, heteroaryl, C₃₋₇ cycloalkyl, 5-7 membered heterocycloalkyl, arylalkyl, heteroarylalkyl, (C₃₋₇ cycloalkyl)alkyl or (5-7 membered heterocycloalkyl)alkyl;

R¹² is, independently, H, C₁₋₈ alkyl, C₁₋₈ haloalkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, aryl, heteroaryl, C₃₋₇ cycloalkyl, 5-7 membered heterocycloalkyl, arylalkyl, heteroarylalkyl, (C₃₋₇ cycloalkyl)alkyl, (5-7 membered heterocycloalkyl)alkyl, amino, (C₁₋₄ alkyl)amino, or di(C₁₋₄ alkyl)amino;

R¹³ and R¹⁴ are each, independently, H, C₁₋₈ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, aryl, heteroaryl, C₃₋₇ cycloalkyl, 5-7 membered heterocycloalkyl, arylalkyl, heteroarylalkyl, (C₃₋

₇ cycloalkyl)alkyl, (5-7 membered heterocycloalkyl)alkyl, (C₁₋₈ alkyl)carbonyl, (C₁₋₈ haloalkyl)carbonyl, (C₁₋₈ alkoxy)carbonyl, (C₁₋₈ haloalkoxy)carbonyl, (C₁₋₄ alkyl)sulfonyl, (C₁₋₄ haloalkyl)sulfonyl or arylsulfonyl;

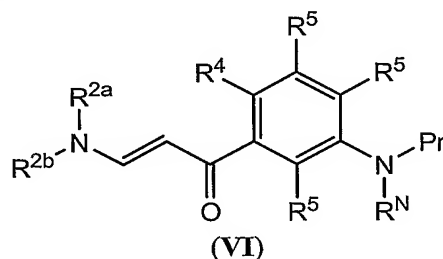
or R¹³ and R¹⁴, together with the N atom to which they are attached form a 5-7 membered heterocycloalkyl group;

Pr is an amino protecting group; and

R^N is H;

or Pr and R^N together with the N atom to which they are attached form a cyclic amino protecting group;

comprising reacting a compound of Formula (VI):



wherein R^{2a} and R^{2b} are each, independently, C₁₋₄ alkyl, with an alkylhydrazine having the formula NH₂NH-R² for a time and under conditions suitable for forming said compound of Formula (V).

109. The process of claim 108 wherein R² is methyl.

110. The process of claim 108 wherein said reacting is carried out in the presence of an organic solvent.

111. The process of claim 110 wherein said organic solvent comprises an alcohol.

112. The process of claim 110 wherein said organic solvent comprises methanol.

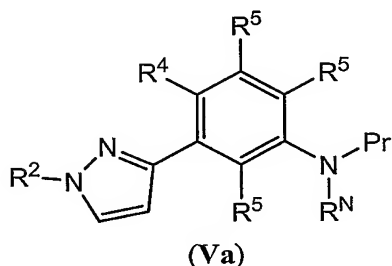
113. The process of claim 108 wherein said reacting is carried out in the presence of an acid.

114. The process of claim 113 wherein said acid comprises an inorganic acid.

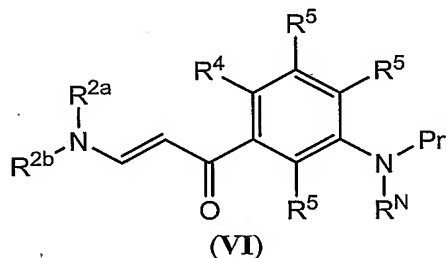
115. The process of claim 113 wherein said acid comprises HCl.

116. The process of claim 108 wherein said compound of Formula (VI) is added to a solution containing said alkylhydrazine and HCl.

117. The process of claim 108 wherein said reacting further produces a compound of Formula (Va):



- 5 wherein said compound of Formula (Va) is produced in a lesser amount than said compound of Formula (V).
118. The process of claim 117 wherein the molar ratio of compound of Formula (V) to compound of Formula (Va) is greater than about 2.
- 10 119. The process of claim 117 wherein the molar ratio of compound of Formula (V) to compound of Formula (Va) is greater than about 5.
120. A process for preparing a compound of Formula (VI):



15 wherein:

R^{2a} and R^{2b} are each, independently, C_{1-4} alkyl;

R^4 is halo, cyano, nitro, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{1-6} alkoxy, SR^{11} , SOR^{12} , SO_2R^{12} , COR^{12} , $COOR^{11}$, $OC(O)R^{12}$, $NR^{13}R^{14}$, or C_{3-7} cycloalkyl,

20 wherein said C_{1-6} alkoxy group is optionally substituted with one or more C_{1-5} acyl, C_{1-5} acyloxy, C_{2-6} alkenyl, C_{1-4} alkoxy, C_{1-8} alkyl, C_{1-6} alkylamino, C_{2-8} dialkylamino, C_{1-4} alkylcarboxamide, C_{2-6} alkynyl, C_{1-4} alkylsulfonamide, C_{1-4} alkylsulfinyl, C_{1-4} alkylsulfonyl, C_{1-4} thioalkoxy, C_{1-4} alkylureido, amino, $(C_{1-6}$ alkoxy)carbonyl, carboxamide, carboxy, cyano, C_{3-6} cycloalkyl, C_{2-6} dialkylcarboxamide, halogen, C_{1-4} haloalkoxy, C_{1-4} haloalkyl, C_{1-4} haloalkylsulfinyl, C_{1-4} haloalkylsulfonyl, C_{1-4} halothioalkoxy, hydroxyl, nitro or phenyl optionally substituted with 1 to 5 halogen atoms;

25

R^5 , at each independent occurrence, is H, halo, cyano, nitro, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{1-6} alkoxy, SR^{11} , SOR^{12} , SO_2R^{12} , COR^{12} , $COOR^{11}$, $OC(O)R^{12}$, $NR^{13}R^{14}$, or C_{3-7} cycloalkyl, wherein said C_{1-6} alkoxy group is optionally substituted with one or more C_{1-5} acyl, C_{1-5} acyloxy, C_{2-6} alkenyl, C_{1-4} alkoxy, C_{1-8} alkyl, C_{1-6} alkylamino, C_{2-8} dialkylamino, C_{1-4} alkylcarboxamide, C_{2-6} alkynyl, C_{1-4} alkylsulfonamide, C_{1-4} alkylsulfinyl, C_{1-4} alkylsulfonyl, C_{1-4} thioalkoxy, C_{1-4} alkylureido, amino, (C_{1-6} alkoxy)carbonyl, carboxamide, carboxy, cyano, C_{3-6} cycloalkyl, C_{2-6} dialkylcarboxamide, halogen, C_{1-4} haloalkoxy, C_{1-4} haloalkyl, C_{1-4} haloalkylsulfinyl, C_{1-4} haloalkylsulfonyl, C_{1-4} halothioalkoxy, hydroxyl, nitro or phenyl optionally substituted with 1 to 5 halogen atoms;

R^{11} is, independently, H, C_{1-8} alkyl, C_{1-8} haloalkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, aryl, heteroaryl, C_{3-7} cycloalkyl, 5-7 membered heterocycloalkyl, arylalkyl, heteroarylalkyl, (C_{3-7} cycloalkyl)alkyl or (5-7 membered heterocycloalkyl)alkyl;

R^{12} is, independently, H, C_{1-8} alkyl, C_{1-8} haloalkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, aryl, heteroaryl, C_{3-7} cycloalkyl, 5-7 membered heterocycloalkyl, arylalkyl, heteroarylalkyl, (C_{3-7} cycloalkyl)alkyl, (5-7 membered heterocycloalkyl)alkyl, amino, (C_{1-4} alkyl)amino, or di(C_{1-4} alkyl)amino;

R^{13} and R^{14} are each, independently, H, C_{1-8} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, aryl, heteroaryl, C_{3-7} cycloalkyl, 5-7 membered heterocycloalkyl, arylalkyl, heteroarylalkyl, (C_{3-7} cycloalkyl)alkyl, (5-7 membered heterocycloalkyl)alkyl, (C_{1-8} alkyl)carbonyl, (C_{1-8} haloalkyl)carbonyl, (C_{1-8} alkoxy)carbonyl, (C_{1-8} haloalkoxy)carbonyl, (C_{1-4} alkyl)sulfonyl, (C_{1-4} haloalkyl)sulfonyl or arylsulfonyl;

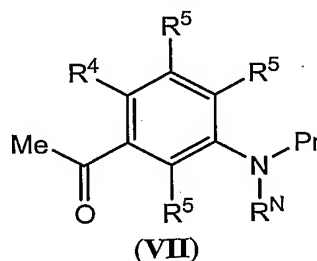
or R^{13} and R^{14} , together with the N atom to which they are attached form a 5-7 membered heterocycloalkyl group;

Pr is an amino protecting group; and

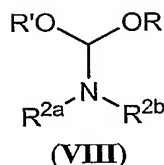
R^N is H;

or Pr and R^N together with the N atom to which they are attached form a cyclic amino protecting group;

comprising reacting a compound of Formula (VII):

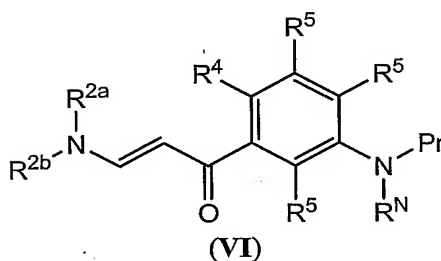
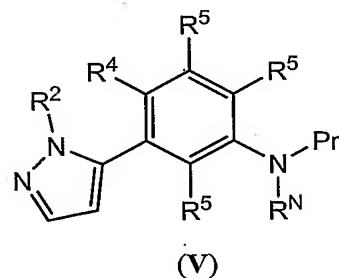
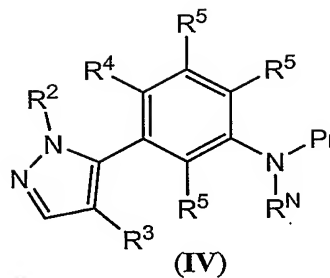
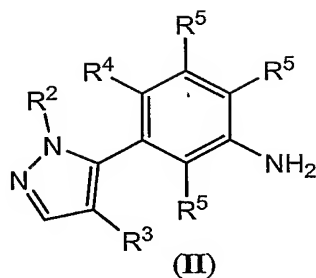


with an acetal of Formula (VIII):



wherein R and R' are each, independently, C₁₋₆ alkyl, arylalkyl or alkylaryl, or R and R' together with the O atoms to which they are attached and the intervening CH group form a 5- or 6-membered heterocycloalkyl group; for a time and under conditions suitable for forming said compound of Formula (VI).

121. The process of claim 120 wherein said R and R' are both C₁₋₄ alkyl.
122. The process of claim 120 wherein said R and R' are both methyl.
123. The process of claim 120 wherein said R^{2a} and R^{2b} are both methyl.
124. The process of claim 120 wherein said reacting with an acetal of Formula (VIII) is carried out in a solvent.
125. The process of claim 124 wherein said solvent comprises an alcohol.
126. The process of claim 124 wherein said solvent comprises ethanol.
127. The process of claim 120 wherein said reacting with an acetal of Formula (VIII) is carried out at about reflux temperature.
128. The process of claim 120 wherein said acetal is added to a mixture of said compound of Formula (VII) and solvent.
129. The process of claim 120 wherein said acetal is provided in molar excess relative to the amount of compound of Formula (VII).
130. The process of claim 129 wherein the molar ratio of said acetal to said compound of Formula (VII) is about 1.5 to about 3.
131. A compound of Formula (II), (IV), (V) or (VI):



wherein:

R² is C₁₋₄ alkyl;

R³ is F, Cl, Br or I;

R⁴ is halo, cyano, nitro, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₁₋₆ alkoxy, SR¹¹, SOR¹², SO₂R¹², COR¹², COOR¹¹, OC(O)R¹², NR¹³R¹⁴, or C₃₋₇ cycloalkyl, wherein said C₁₋₆ alkoxy group is optionally substituted with one or more C₁₋₅ acyl, C₁₋₅ acyloxy, C₂₋₆ alkenyl, C₁₋₄ alkoxy, C₁₋₈ alkyl, C₁₋₆ alkylamino, C₂₋₈ dialkylamino, C₁₋₄ alkylcarboxamide, C₂₋₆ alkynyl, C₁₋₄ alkylsulfonamide, C₁₋₄ alkylsulfinyl, C₁₋₄ alkylsulfonyl, C₁₋₄ thioalkoxy, C₁₋₄ alkylureido, amino, (C₁₋₆ alkoxy)carbonyl, carboxamide, carboxy, cyano, C₃₋₆ cycloalkyl, C₂₋₆ dialkylcarboxamide, halogen, C₁₋₄ haloalkoxy, C₁₋₄ haloalkyl, C₁₋₄ haloalkylsulfinyl, C₁₋₄ haloalkylsulfonyl, C₁₋₄ halothioalkoxy, hydroxyl, nitro or phenyl optionally substituted with 1 to 5 halogen atoms;

R⁵, at each independent occurrence, is H, halo, cyano, nitro, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₁₋₆ alkoxy, SR¹¹, SOR¹², SO₂R¹², COR¹², COOR¹¹, OC(O)R¹², NR¹³R¹⁴, or C₃₋₇ cycloalkyl, wherein said C₁₋₆ alkoxy group is optionally substituted with one or more C₁₋₅ acyl, C₁₋₅ acyloxy, C₂₋₆ alkenyl, C₁₋₄ alkoxy, C₁₋₈ alkyl, C₁₋₆ alkylamino, C₂₋₈ dialkylamino, C₁₋₄ alkylcarboxamide, C₂₋₆ alkynyl, C₁₋₄ alkylsulfonamide, C₁₋₄ alkylsulfinyl, C₁₋₄ alkylsulfonyl, C₁₋₄ thioalkoxy, C₁₋₄ alkylureido, amino, (C₁₋₆ alkoxy)carbonyl, carboxamide, carboxy, cyano, C₃₋₆ cycloalkyl, C₂₋₆ dialkylcarboxamide, halogen, C₁₋₄ haloalkoxy, C₁₋₄ haloalkyl, C₁₋₄ haloalkylsulfinyl, C₁₋₄ haloalkylsulfonyl, C₁₋₄ halothioalkoxy, hydroxyl, nitro or phenyl optionally substituted with 1 to 5 halogen atoms;

R¹¹ is, independently, H, C₁₋₈ alkyl, C₁₋₈ haloalkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, aryl, heteroaryl, C₃₋₇ cycloalkyl, 5-7 membered heterocycloalkyl, arylalkyl, heteroarylalkyl, (C₃₋₇ cycloalkyl)alkyl or (5-7 membered heterocycloalkyl)alkyl;

R¹² is, independently, H, C₁₋₈ alkyl, C₁₋₈ haloalkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, aryl, heteroaryl, C₃₋₇ cycloalkyl, 5-7 membered heterocycloalkyl, arylalkyl, heteroarylalkyl, (C₃₋₇ cycloalkyl)alkyl, (5-7 membered heterocycloalkyl)alkyl, amino, (C₁₋₄ alkyl)amino, or di(C₁₋₄ alkyl)amino;

R¹³ and R¹⁴ are each, independently, H, C₁₋₈ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, aryl, heteroaryl, C₃₋₇ cycloalkyl, 5-7 membered heterocycloalkyl, arylalkyl, heteroarylalkyl, (C₃₋₇ cycloalkyl)alkyl, (5-7 membered heterocycloalkyl)alkyl, (C₁₋₈ alkyl)carbonyl, (C₁₋₈ haloalkyl)carbonyl, (C₁₋₈ alkoxy)carbonyl, (C₁₋₈ haloalkoxy)carbonyl, (C₁₋₄ alkyl)sulfonyl, (C₁₋₄ haloalkyl)sulfonyl or arylsulfonyl;

or R¹³ and R¹⁴, together with the N atom to which they are attached form a 5-7 membered heterocycloalkyl group;

Pr is an amino protecting group;

R^N is H;

or Pr and R^N together with the N atom to which they are attached form a cyclic amino protecting group; and

R^{2a} and R^{2b} are each, independently, C₁₋₄ alkyl.

132. The compound of claim 131 wherein R² is methyl or ethyl.

133. The compound of claim 131 wherein R² is methyl.

134. The compound of claim 131 wherein R³ is Cl or Br.

135. The compound of claim 131 wherein R³ is Br.

136. The compound of claim 131 wherein R⁴ is other than H.

137. The compound of claim 131 wherein R⁴ is halo, cyano, nitro, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₁₋₆ alkoxy, wherein said C₁₋₆ alkoxy group is optionally substituted with one or more C₁₋₅ acyl, C₁₋₅ acyloxy, C₂₋₆ alkenyl, C₁₋₄ alkoxy, C₁₋₈ alkyl, C₁₋₆ alkylamino, C₂₋₈ dialkylamino, C₁₋₄ alkylcarboxamide, C₂₋₆ alkynyl, C₁₋₄ alkylsulfonamide, C₁₋₄ alkylsulfinyl, C₁₋₄ alkylsulfonyl, C₁₋₄ thioalkoxy, C₁₋₄ alkylureido, amino, (C₁₋₆ alkoxy)carbonyl, carboxamide, carboxy, cyano, C₃₋₆ cycloalkyl, C₂₋₆ dialkylcarboxamide, halogen, C₁₋₄ haloalkoxy, C₁₋₄ haloalkyl, C₁₋₄ haloalkylsulfinyl, C₁₋₄

haloalkylsulfonyl, C₁₋₄ halothioalkoxy, hydroxyl, nitro or phenyl optionally substituted with 1 to 5 halogen atoms.

138. The compound of claim 131 wherein R⁴ is C₁₋₆ alkoxy optionally substituted with one or more C₁₋₅ acyl, C₁₋₅ acyloxy, C₂₋₆ alkenyl, C₁₋₄ alkoxy, C₁₋₈ alkyl, C₁₋₆ alkylamino, C₂₋₈ dialkylamino, C₁₋₄ alkylcarboxamide, C₂₋₆ alkynyl, C₁₋₄ alkylsulfonamide, C₁₋₄ alkylsulfinyl, C₁₋₄ alkylsulfonyl, C₁₋₄ thioalkoxy, C₁₋₄ alkylureido, amino, (C₁₋₆ alkoxy)carbonyl, carboxamide, carboxy, cyano, C₃₋₆ cycloalkyl, C₂₋₆ dialkylcarboxamide, halogen, C₁₋₄ haloalkoxy, C₁₋₄ haloalkyl, C₁₋₄ haloalkylsulfinyl, C₁₋₄ haloalkylsulfonyl, C₁₋₄ halothioalkoxy, hydroxyl, nitro or phenyl optionally substituted with 1 to 5 halogen atoms.
139. The compound of claim 131 wherein R⁴ is C₁₋₆ alkoxy.
140. The compound of claim 131 wherein R⁴ is C₁₋₃ alkoxy.
141. The compound of claim 131 wherein R⁴ is methoxy or ethoxy.
142. The compound of claim 131 wherein R⁴ is methoxy.
143. The compound of claim 131 wherein R⁵, at each independent occurrence, is H, halo, cyano, nitro, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, or C₁₋₆ alkoxy.
144. The compound of claim 131 wherein R⁵, at each occurrence, is H.
145. The compound of claim 131 wherein R^{2a} and R^{2b} are both methyl.
146. The compound of claim 131 wherein Pr is -C(O)Me.
147. The compound of claim 131 wherein:
R³ is F, Cl, Br or I;
R⁴ is halo, cyano, nitro, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₁₋₆ alkoxy, wherein said C₁₋₆ alkoxy group is optionally substituted with one or more C₁₋₅ acyl, C₁₋₅ acyloxy, C₂₋₆ alkenyl, C₁₋₄ alkoxy, C₁₋₈ alkyl, C₁₋₆ alkylamino, C₂₋₈ dialkylamino, C₁₋₄ alkylcarboxamide, C₂₋₆ alkynyl, C₁₋₄ alkylsulfonamide, C₁₋₄ alkylsulfinyl, C₁₋₄ alkylsulfonyl, C₁₋₄ thioalkoxy, C₁₋₄ alkylureido, amino, (C₁₋₆ alkoxy)carbonyl, carboxamide, carboxy, cyano, C₃₋₆ cycloalkyl, C₂₋₆ dialkylcarboxamide, halogen, C₁₋₄ haloalkoxy, C₁₋₄ haloalkyl, C₁₋₄ haloalkylsulfinyl, C₁₋₄ haloalkylsulfonyl, C₁₋₄

halothioalkoxy, hydroxyl, nitro or phenyl optionally substituted with 1 to 5 halogen atoms;
and

R^5 , at each independent occurrence, is H, halo, cyano, nitro, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, or C_{1-6} alkoxy.

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148. The compound of claim 131 wherein:

R^3 is F, Cl, Br or I;

R^4 is C_{1-6} alkoxy group optionally substituted with one or more C_{1-5} acyl, C_{1-5} acyloxy, C_{2-6} alkenyl, C_{1-4} alkoxy, C_{1-8} alkyl, C_{1-6} alkylamino, C_{2-8} dialkylamino, C_{1-4} alkylcarboxamide, C_{2-6} alkynyl, C_{1-4} alkylsulfonamide, C_{1-4} alkylsulfinyl, C_{1-4} alkylsulfonyl, C_{1-4} thioalkoxy, C_{1-4} alkylureido, amino, (C_{1-6} alkoxy)carbonyl, carboxamide, carboxy, cyano, C_{3-6} cycloalkyl, C_{2-6} dialkylcarboxamide, halogen, C_{1-4} haloalkoxy, C_{1-4} haloalkyl, C_{1-4} haloalkylsulfinyl, C_{1-4} haloalkylsulfonyl, C_{1-4} halothioalkoxy, hydroxyl, nitro or phenyl optionally substituted with 1 to 5 halogen atoms;
and

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R^5 , at each occurrence, is H.

149. The compound of claim 131 wherein:

R^2 is methyl or ethyl;

R^3 is F, Cl, Br or I;

R^4 is C_{1-6} alkoxy; and

R^5 , at each occurrence, is H.

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150. The compound of claim 131 wherein:

R^2 is methyl;

R^3 is Cl or Br;

R^4 is methoxy; and

R^5 , at each occurrence, is H.

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151. The compound of claim 131 wherein said compound has Formula (III).

152. The compound of claim 131 wherein said compound has Formula (IV).

153. The compound of claim 131 wherein said compound has Formula (V).

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154. The compound of claim 131 wherein said compound has Formula (VI).

155. The compound of claim 131 wherein said compound has Formula (II) and R^2 is methyl; R^3 is Cl or Br; R^4 is methoxy; and R^5 , at each occurrence, is H.
156. The compound of claim 131 wherein said compound has Formula (IV) and R^2 is methyl;
5 R^3 is Br; R^4 is methoxy; R^5 , at each occurrence, is H; and Pr is $-C(O)Me$.
157. The compound of claim 131 wherein said compound has Formula (IV) and R^2 is methyl;
 R^3 is Cl; R^4 is methoxy; R^5 , at each occurrence, is H; and Pr is $-C(O)Me$.
- 10 158. The compound of claim 131 wherein said compound has Formula (V) and R^2 is methyl; R^4 is methoxy; R^5 , at each occurrence, is H; and Pr is $-C(O)Me$.
159. The compound of claim 131 wherein said compound has Formula (VI) and R^{2a} is methyl;
 R^{2b} is methyl; R^4 is methoxy; R^5 , at each occurrence, is H; and Pr is $-C(O)Me$.